

Product data sheet



MedKoo Cat#: 406987 Name: CPI-455 CAS#: 1628208-23-0 Chemical Formula: C ₁₆ H ₁₄ N ₄ O Exact Mass: 278.1168 Molecular Weight: 278.315	
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

CPI-455 is a potent and selective inhibitor of KDM5 demethylases which reduces survival of drug-tolerant cancer cells. CPI-455 mediated KDM5 inhibition, elevated global levels of H3K4 trimethylation (H3K4me3) and decreased the number of DTPs in multiple cancer cell line models treated with standard chemotherapy or targeted agents. Pretreatment of cancer cells with a KDM5-specific inhibitor results in the ablation of a subpopulation of cancer cells that can serve as the founders for therapeutic relapse.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	32.0	114.98
DMSO:PBS (pH 7.2) (1:20)	0.05	0.18
DMF	30.0	107.79
Ethanol	5.0	17.97

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.59 mL	17.97 mL	35.93 mL
5 mM	0.72 mL	3.59 mL	7.19 mL
10 mM	0.36 mL	1.80 mL	3.59 mL
50 mM	0.07 mL	0.36 mL	0.72 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

- Xue XJ, Li FR, Yu J. Mitochondrial pathway of the lysine demethylase 5C inhibitor CPI-455 in the Eca-109 esophageal squamous cell carcinoma cell line. *World J Gastroenterol.* 2021 Apr 28;27(16):1805-1815. doi: 10.3748/wjg.v27.i16.1805. PMID: 33967558; PMCID: PMC8072195.
- Facompre ND, Harmeyer KM, Sahu V, Gimotty PA, Rustgi AK, Nakagawa H, Basu D. Targeting JARID1B's demethylase activity blocks a subset of its functions in oral cancer. *Oncotarget.* 2017 Dec 15;9(10):8985-8998. doi: 10.18632/oncotarget.23739. PMID: 29507668; PMCID: PMC5823649.

In vivo study

N/A

7. Bioactivity

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Biological target:

CPI-455 is a specific, pan-KDM5 inhibitor with an IC50 of 10 nM for KDM5A.

In vitro activity

Flow cytometry was used to detect the effect of CPI-455 on the level of ROS in Eca-109 cells. With an extension of the induction time, the level of intracellular ROS in the Eca-109 cells was increased significantly, with statistically significant differences observed at 24, 48, and 72 h ($P < 0.01$, Figure 3). Compared with the control group, the mitochondrial membrane potential was depolarized and decreased ($P < 0.01$, Figure 4). The western blot results found increased expression of p53, Bax, Caspase-9, and Caspase-3 at 24, 48, and 72 h following CPI-455 treatment (Figure 5). However, KDM5C protein expression in the treated cells was significantly decreased compared with the controls ($P < 0.01$, Figure 6).

Reference: World J Gastroenterol. 2021 Apr 28; 27(16): 1805–1815. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8072195/>

In vivo activity

N/A

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.